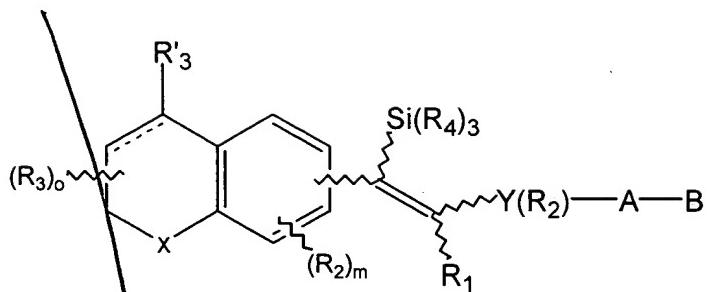


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formula (3)

*Sub 6/1
Cont*

wherein the dashed line represents a bond or absence of a bond;

X is S, O, NR' where R' is H or alkyl of 1 to 6 carbons, or

X is (C(R₁)₂)_n where R₁ is H or alkyl of 1 to 6 carbons, and n is an integer having the value of 0 or 1;

R₂ is hydrogen, lower alkyl of 1 to 6 carbons, F, Cl, Br, I, CF₃, fluoro substituted alkyl of 1 to 6 carbons, OH, SH, alkoxy of 1 to 12 carbons, or alkylthio of 1 to 12 carbons, benzyloxy or C₁ - C₁₂ alkylbenzyloxy;

R₃ is hydrogen, lower alkyl of 1 to 6 carbons or F;

m is an integer having the value of 0 - 3;

o is an integer having the value of 0 - 4 when the dashed line represents absence of a bond, and 0 - 3 when the dashed line represents a bond;

*R*₃ is hydrogen, lower alkyl of 1 to 6 carbons, F or (R₁₅)_r-phenyl, (R₁₅)_r-naphthyl, or (R₁₅)_r-heteroaryl where the heteroaryl group has 1 to 3 heteroatoms selected from the group consisting of O, S and N, r is an integer having the values of 0 - 5;

R₄ is alkyl of 1 to 8 carbons, or phenyl;

Y is a phenyl or naphthyl group, or heteroaryl selected from a group consisting of pyridyl, thienyl, furyl, pyridazinyl, pyrimidinyl, pyrazinyl, thiazolyl, oxazolyl, imidazolyl and pyrazolyl, said phenyl and heteroaryl groups being optionally substituted with one or two R₂ groups;

R₁₅ is independently H, F, Cl, Br, I, NO₂, N(R₈)₂, NH(R₈), COR₈, NR₈CON(R₈)₂, OH, OCOR₈, OR₈, CN, an alkyl group having 1 to 10 carbons, fluoro substituted alkyl

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Sub E¹ Cont

group having 1 to 10 carbons, an alkenyl group having 1 to 10 carbons and 1 to 3 double bonds, alkynyl group having 1 to 10 carbons and 1 to 3 triple bonds, or a trialkylsilyl or trialkylsilyloxy group where the alkyl groups independently have 1 to 6 carbons;

A is $(CH_2)_q$ where q is 0-5, lower branched chain alkyl having 3-6 carbons, cycloalkyl having 3-6 carbons, alkenyl having 2-6 carbons and 1 or 2 double bonds, alkynyl having 2-6 carbons and 1 or 2 triple bonds;

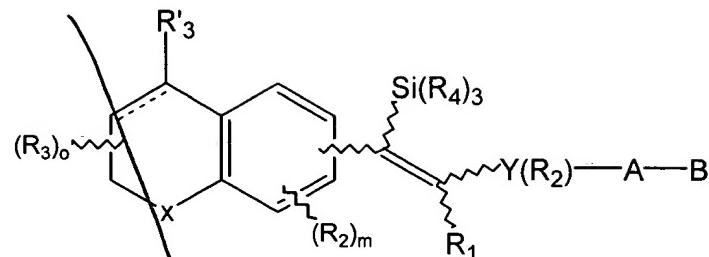
C1 Cont

B is hydrogen, COOH, NO₂, P(O)(OH)₂, P(O)(OH)OR₈, P(O)(OR₈)₂, SO₂OH, SO₂(OR₈), COOR₈, CONR₉R₁₀, -CH₂OH, CH₂OR₁₁, CH₂OCOR₁₁, CHO, CH(OR₁₂)₂, CHOR₁₃O, -COR₇, CR₇(OR₁₂)₂, CR₇OR₁₃O, or tri-lower alkylsilyl, where R₇ is an alkyl, cycloalkyl or alkenyl group containing 1 to 5 carbons, R₈ is an alkyl group of 1 to 10 carbons or trimethylsilylalkyl where the alkyl group has 1 to 10 carbons, or a cycloalkyl group of 5 to 10 carbons, or R₈ is phenyl or lower alkylphenyl, R₉ and R₁₀ independently are hydrogen, an alkyl group of 1 to 10 carbons, or a cycloalkyl group of 5-10 carbons, or phenyl or lower alkylphenyl, R₁₁ is lower alkyl, phenyl or lower alkylphenyl, R₁₂ is lower alkyl, and R₁₃ is divalent alkyl radical of 2-5 carbons, or a pharmaceutically acceptable salt of said compound.

C2

31. A method of treating a hypercholesterolemic mammal comprising the steps: administering to a mammal in need thereof a pharmaceutically acceptable composition comprising an FXR antagonist having the following formula

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formula (3)

wherein the dashed line represents a bond or absence of a bond;

X is S, O, NR' where R' is H or alkyl of 1 to 6 carbons, or

X is (C(R1))n where R1 is H or alkyl of 1 to 6 carbons, and n is an integer having the value of 0 or 1;

R2 is hydrogen, lower alkyl of 1 to 6 carbons, F, Cl, Br, I, CF3, fluoro substituted alkyl of 1 to 6 carbons, OH, SH, alkoxy of 1 to 12 carbons, or alkylthio of 1 to 12 carbons, benzyloxy or C₁ - C₁₂ alkylbenzyloxy;

R3 is hydrogen, lower alkyl of 1 to 6 carbons or F;

m is an integer having the value of 0 - 3;

o is an integer having the value of 0 - 4 when the dashed line represents absence of a bond, and 0 - 3 when the dashed line represents a bond;

R'3 is hydrogen, lower alkyl of 1 to 6 carbons, F or (R₁₅)_r-phenyl, (R₁₅)_r-naphthyl, or (R₁₅)_r-heteroaryl where the heteroaryl group has 1 to 3 heteroatoms selected from the group consisting of O, S and N, r is an integer having the values of 0 - 5;

R4 is alkyl of 1 to 8 carbons, or phenyl;

Y is a phenyl or naphthyl group, or heteroaryl selected from a group consisting of pyridyl, thienyl, furyl, pyridazinyl, pyrimidinyl, pyrazinyl, thiazolyl, oxazolyl, imidazolyl and pyrazolyl, said phenyl and heteroaryl groups being optionally substituted with one or two R₂ groups;

R₁₅ is independently H, F, Cl, Br, I, NO₂, N(R₈)₂, NH(R₈), COR₈, NR₈CON(R₈)₂, OH, OCOR₈, OR₈, CN, an alkyl group having 1 to 10 carbons, fluoro

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substituted alkyl group having 1 to 10 carbons, an alkenyl group having 1 to 10 carbons and 1 to 3 double bonds, alkynyl group having 1 to 10 carbons and 1 to 3 triple bonds, or a trialkylsilyl or trialkylsiloxy group where the alkyl groups independently have 1 to 6 carbons;

A is $(\text{CH}_2)_q$ where q is 0-5, lower branched chain alkyl having 3-6 carbons, cycloalkyl having 3-6 carbons, alkenyl having 2-6 carbons and 1 or 2 double bonds, alkynyl having 2-6 carbons and 1 or 2 triple bonds;

B is hydrogen, COOH, NO₂, P(O)(OH)₂, P(O)(OH)OR₈, P(O)(OR₈)₂, SO₂OH, SO₂(OR₈), COOR₈, CONR₉R₁₀, -CH₂OH, CH₂OR₁₁, CH₂OCOR₁₁, CHO, CH(OR₁₂)₂, CHOR₁₃O, -COR₇, CR₇(OR₁₂)₂, CR₇OR₁₃O, or tri-lower alkylsilyl, where R₇ is an alkyl, cycloalkyl or alkenyl group containing 1 to 5 carbons, R₈ is an alkyl group of 1 to 10 carbons or trimethylsilylalkyl where the alkyl group has 1 to 10 carbons, or a cycloalkyl group of 5 to 10 carbons, or R₈ is phenyl or lower alkylphenyl, R₉ and R₁₀ independently are hydrogen, an alkyl group of 1 to 10 carbons, or a cycloalkyl group of 5-10 carbons, or phenyl or lower alkylphenyl, R₁₁ is lower alkyl, phenyl or lower alkylphenyl, R₁₂ is lower alkyl, and R₁₃ is divalent alkyl radical of 2-5 carbons, or a pharmaceutically acceptable salt of said compound.

37. A method of treating a pathological condition in a mammal comprising the step of administering to a mammal in need thereof a pharmaceutically acceptable composition comprising a synthetic FXR ligand able to stimulate, block, or inhibit the activity of a mammalian FXR receptor, said synthetic FXR ligand having the formula

